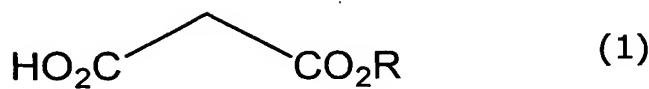


CLAIMS

1. A compound represented by formula (1) or a salt thereof:



wherein R represents a group that is easily removable upon hydrolysis in vivo.

2. The compound according to claim 1, wherein R represents

- (a) C1-C6 alkylcarbonyloxy C1-C6 alkyl,
- (b) arylcarbonyloxy C1-C6 alkyl,
- (c) five- to seven-membered heterocyclic carbonyloxy C1-C6 alkyl,
- (d) C2-C6 alkenylcarbonyloxy C1-C6 alkyl,
- (e) C2-C6 alkynylcarbonyloxy C1-C6 alkyl,
- (f) C3-C8 cycloalkylcarbonyloxy C1-C6 alkyl,
- (g) C1-C6 alkoxy carbonyloxy C1-C6 alkyl,
- (h) aryloxycarbonyloxy C1-C6 alkyl,
- (i) five- to seven-membered heterocyclic oxycarbonyloxy C1-C6 alkyl,
- (j) C2-C6 alkenyloxycarbonyloxy C1-C6 alkyl,
- (k) C2-C6 alkynyloxycarbonyloxy C1-C6 alkyl,
- (l) C3-C8 cycloalkyloxycarbonyloxy C1-C6 alkyl,
- (m) phthalid-3-yl, or
- (n) 2-oxo-5-(C1-C6 alkyl)-1,3-dioxolen-4-ylmethyl,

wherein groups (a) to (n) are optionally substituted by a substituent selected from the group consisting of:

C1-C6 alkyl; C3-C8 cycloalkyl; C1-C6 alkoxy; C2-C6 alkenyl; C2-C6 alkynyl; aryl optionally substituted by C1-C6 alkyl; five- to seven-membered heterocyclic group; C1-C6 alkylcarbonyloxy; C1-C6 alkoxy carbonyloxy; arylcarbonyloxy; aryloxycarbonyloxy; C1-C6 alkylthio; C2-C6 alkenylthio; and di-

C1-C6 alkylamino, and  
said aryl represents phenyl or naphthyl.

3. The compound according to claim 2, wherein the substituent in R is selected from the group consisting of C1-C6 alkyl, C3-C8 cycloalkyl, C1-C6 alkoxy, C2-C6 alkenyl, C2-C6 alkynyl, aryl, and five- to seven-membered heterocyclic group.

4. The compound according to claim 2, wherein the substituent in R represents C1-C4 alkyl or C3-C6 cycloalkyl.

5. The compound according to claim 2, wherein R represents

(a') C1-C6 alkylcarbonyloxy C1-C6 alkyl optionally substituted by C3-C8 cycloalkyl; or by aryl optionally substituted by C1-C6 alkyl,

(b') arylcarbonyloxy C1-C6 alkyl in which the aryl part is optionally substituted by C1-C6 alkyl,

(d') unsubstituted C2-C6 alkenylcarbonyloxy C1-C6 alkyl,

(f') unsubstituted C3-C8 cycloalkylcarbonyloxy C1-C6 alkyl,

(g') C1-C6 alkoxy carbonyloxy C1-C6 alkyl optionally substituted by C3-C8 cycloalkyl; or by aryl optionally substituted by C1-C6 alkyl,

(h') aryloxycarbonyloxy C1-C6 alkyl in which the aryl part is optionally substituted by C1-C6 alkyl,

(j') unsubstituted C2-C6 alkenyloxycarbonyloxy C1-C6 alkyl,

(l') unsubstituted C3-C8 cycloalkyloxycarbonyloxy C1-C6 alkyl,

(m') unsubstituted phthalid-3-yl, or

(n') unsubstituted 2-oxo-5-(C1-C6 alkyl)-1,3-dioxolen-4-ylmethyl.

6. The compound according to claim 2, wherein R represents

(a'') C<sub>1</sub>-C<sub>6</sub> alkylcarbonyloxy C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted by cyclohexyl,

(b'') phenylcarbonyloxy C<sub>1</sub>-C<sub>2</sub> alkyl in which the phenyl part is optionally substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,

(g'') C<sub>1</sub>-C<sub>6</sub> alkoxy carbonyloxy C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted by cyclohexyl,

(h'') phenoxy carbonyloxy C<sub>1</sub>-C<sub>2</sub> alkyl in which the phenyl part is optionally substituted by C<sub>1</sub>-C<sub>4</sub> alkyl,

(l'') unsubstituted C<sub>3</sub>-C<sub>6</sub> cycloalkyloxycarbonyloxy C<sub>1</sub>-C<sub>2</sub> alkyl, or

(n'') unsubstituted 2-oxo-5-(C<sub>1</sub>-C<sub>4</sub> alkyl)-1,3-dioxolen-4-ylmethyl.

7. The compound according to claim 1, which is selected from the following group of compounds:

monoacetyloxymethyl malonate,

monopivaloyloxymethyl malonate,

mono-2,4-dimethylbenzoyloxymethyl malonate,

mono-1-(ethoxycarbonyloxy)ethyl malonate,

mono-1-(isopropoxycarbonyloxy)ethyl malonate,

monocyclohexyloxycarbonyloxymethyl malonate,

mono-1-(cyclohexyloxycarbonyloxy)ethyl malonate,

mono-1-(phenoxy carbonyloxy)ethyl malonate,

mono-2-oxo-5-methyl-1,3-dioxolen-4-ylmethyl malonate,

mono-1-(2,2-dimethylpropoxycarbonyloxy)ethyl malonate,

mono-1-(2-cyclohexylethoxycarbonyloxy)ethyl malonate,

mono-1-(isobutoxycarbonyloxy)ethyl malonate,

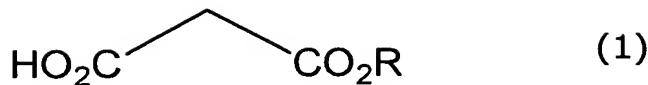
monoisopropoxycarbonyloxymethyl malonate,

monoisopentoxy carbonyloxymethyl malonate,

monoisobutylcarbonyloxymethyl malonate, and

mono-1-ethylpropylcarbonyloxymethyl malonate.

8. A process for producing a compound represented by formula (1) or a salt thereof:



said process comprising the step of reacting malonic acid with a compound represented by formula (2) in the presence of a base:



wherein

R represents a group that, in the form of an ester group - COOR, can be degraded and is easily removable in vivo; and

X represents a halogen atom.

9. The process according to claim 8, wherein R represents

- (a) C1-C6 alkylcarbonyloxy C1-C6 alkyl,
- (b) arylcarbonyloxy C1-C6 alkyl,
- (c) five- to seven-membered heterocyclic carbonyloxy C1-C6 alkyl,
- (d) C2-C6 alkenylcarbonyloxy C1-C6 alkyl,
- (e) C2-C6 alkynylcarbonyloxy C1-C6 alkyl,
- (f) C3-C8 cycloalkylcarbonyloxy C1-C6 alkyl,
- (g) C1-C6 alkoxy carbonyloxy C1-C6 alkyl,
- (h) aryloxycarbonyloxy C1-C6 alkyl,
- (i) five- to seven-membered heterocyclic oxycarbonyloxy C1-C6 alkyl,
- (j) C2-C6 alkenyloxycarbonyloxy C1-C6 alkyl,
- (k) C2-C6 alkynyloxycarbonyloxy C1-C6 alkyl,
- (l) C3-C8 cycloalkyloxycarbonyloxy C1-C6 alkyl,
- (m) phthalid-3-yl, or
- (n) 2-oxo-5-(C1-C6 alkyl)-1,3-dioxolen-4-ylmethyl,

wherein groups (a) to (n) are optionally substituted by a substituent selected from the group consisting of:

C1-C6 alkyl; C3-C8 cycloalkyl; C1-C6 alkoxy; C2-C6 alkenyl; C2-C6 alkynyl; aryl optionally substituted by C1-C6 alkyl; five- to seven-membered heterocyclic group; C1-C6 alkylcarbonyloxy; C1-C6 alkoxy carbonyloxy; arylcarbonyloxy;

aryloxycarbonyloxy; C1-C6 alkylthio; C2-C6 alkenylthio; and di-C1-C6 alkylamino, and  
said aryl represents phenyl or naphthyl.

10. The process according to claim 9, wherein R represents

(a') C1-C6 alkylcarbonyloxy C1-C6 alkyl optionally substituted by C3-C8 cycloalkyl; or by aryl optionally substituted by C1-C6 alkyl,

(b') arylcarbonyloxy C1-C6 alkyl in which the aryl part is optionally substituted by C1-C6 alkyl,

(d') unsubstituted C2-C6 alkenylcarbonyloxy C1-C6 alkyl,

(f') unsubstituted C3-C8 cycloalkylcarbonyloxy C1-C6 alkyl,

(g') C1-C6 alkoxy carbonyloxy C1-C6 alkyl optionally substituted by C3-C8 cycloalkyl; or by aryl optionally substituted by C1-C6 alkyl,

(h') aryloxycarbonyloxy C1-C6 alkyl in which the aryl part is optionally substituted by C1-C6 alkyl,

(j') unsubstituted C2-C6 alkenyloxycarbonyloxy C1-C6 alkyl,

(l') unsubstituted C3-C8 cycloalkyloxycarbonyloxy C1-C6 alkyl,

(m') unsubstituted phthalid-3-yl, or

(n') unsubstituted 2-oxo-5-(C1-C6 alkyl)-1,3-dioxolen-4-ylmethyl.

11. The process according to claim 9, wherein R represents

(a'') C1-C6 alkylcarbonyloxy C1-C2 alkyl optionally substituted by cyclohexyl,

(b'') phenylcarbonyloxy C1-C2 alkyl in which the phenyl part is optionally substituted by C1-C4 alkyl,

(g'') C1-C6 alkoxy carbonyloxy C1-C2 alkyl optionally substituted by cyclohexyl,

(h'') phenoxy carbonyloxy C1-C2 alkyl in which the

phenyl part is optionally substituted by C1-C4 alkyl,

(l'') unsubstituted C3-C6 cycloalkyloxycarbonyloxy C1-C2 alkyl, or

(n'') unsubstituted 2-oxo-5-(C1-C4 alkyl)-1,3-dioxolen-4-ylmethyl.

12. The process according to claim 8, wherein the compound represented by formula (1) is selected from the following group of compounds:

monoacetyloxymethyl malonate,

monopivaloyloxymethyl malonate,

mono-2,4-dimethylbenzoyloxymethyl malonate,

mono-1-(ethoxycarbonyloxy)ethyl malonate,

mono-1-(isopropoxycarbonyloxy)ethyl malonate,

monocyclohexyloxycarbonyloxymethyl malonate,

mono-1-(cyclohexyloxycarbonyloxy)ethyl malonate,

mono-1-(phenoxy carbonyloxy)ethyl malonate,

mono-2-oxo-5-methyl-1,3-dioxolen-4-ylmethyl malonate,

mono-1-(2,2-dimethylpropoxycarbonyloxy)ethyl malonate,

mono-1-(2-cyclohexylethoxycarbonyloxy)ethyl malonate,

mono-1-(isobutoxycarbonyloxy)ethyl malonate,

monoisopropoxycarbonyloxymethyl malonate,

monoisopentoxycarbonyloxymethyl malonate,

monoisobutylcarbonyloxymethyl malonate, and

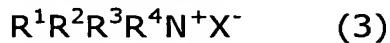
mono-1-ethylpropylcarbonyloxymethyl malonate.

13. The process according to any one of claims 8 to 12, wherein said base is triethylamine, N,N-diisopropylethylamine, or 2,6-lutidine.

14. The process according to any one of claims 8 to 13, wherein said reaction is carried out in an aprotic polar solvent.

15. The process according to claim 14, wherein said aprotic polar solvent is tetrahydrofuran or acetonitrile.

16. The process according to any one of claims 8 to 15, wherein, in the reaction, a compound represented by formula (3) is further added:



wherein

$X^-$  represents a halide ion; and

$R^1$  to  $R^4$ , which may be the same or different, represent C1-C6 alkyl which may combine with any of  $R^1$  to  $R^4$  to form a ring,

aryl optionally substituted by C1-C6 alkyl,

aryl C1-C6 alkyl in which the aryl part is optionally substituted by C1-C6 alkyl,

C3-C8 cycloalkyl C1-C6 alkyl,

C3-C8 cycloalkyl,

C2-C6 alkenyl, or

C2-C6 alkynyl.

17. The process according to claim 16, wherein the compound represented by formula (3) is tetra-n-butylammonium chloride, N,N-diethylpiperidinium chloride, or benzyltriethylammonium chloride.

18. A process for producing a prodrug compound having an ester group -COOR as at least one of substituents,

said process comprising the step of introducing a -COOR group into a precursor compound of said prodrug compound using a compound represented by formula (1) or a salt thereof:



wherein R represents a group that is easily removable upon hydrolysis in vivo.

19. The process according to claim 18, wherein the -

COOR group is introduced into the precursor compound by reacting

a magnesium malonate represented by formula (4)



wherein R represents a group that is easily removable upon hydrolysis in vivo,

obtained by reacting the compound represented by formula (1) or a salt thereof with a magnesium salt in an organic solvent with the precursor compound of said prodrug compound.

20. The process according to claim 18 or 19, wherein said prodrug compound is a prodrug of an antibacterial carbapenem compound which can be administered orally.

21. The process according to any one of claims 18 to 20, wherein R represents

- (a) C1-C6 alkylcarbonyloxy C1-C6 alkyl,
- (b) arylcarbonyloxy C1-C6 alkyl,
- (c) five- to seven-membered heterocyclic carbonyloxy C1-C6 alkyl,
- (d) C2-C6 alkenylcarbonyloxy C1-C6 alkyl,
- (e) C2-C6 alkynylcarbonyloxy C1-C6 alkyl,
- (f) C3-C8 cycloalkylcarbonyloxy C1-C6 alkyl,
- (g) C1-C6 alkoxy carbonyloxy C1-C6 alkyl,
- (h) aryloxycarbonyloxy C1-C6 alkyl,
- (i) five- to seven-membered heterocyclic oxycarbonyloxy C1-C6 alkyl,
- (j) C2-C6 alkenyloxycarbonyloxy C1-C6 alkyl,
- (k) C2-C6 alkynyloxycarbonyloxy C1-C6 alkyl,
- (l) C3-C8 cycloalkyloxycarbonyloxy C1-C6 alkyl,
- (m) phthalid-3-yl, or
- (n) 2-oxo-5-(C1-C6 alkyl)-1,3-dioxolen-4-ylmethyl,

wherein groups (a) to (n) are optionally substituted by a substituent selected from the group consisting of:

C1-C6 alkyl; C3-C8 cycloalkyl; C1-C6 alkoxy; C2-C6 alkenyl; C2-C6 alkynyl; aryl optionally substituted by C1-C6

alkyl; five- to seven-membered heterocyclic group; C1-C6 alkylcarbonyloxy; C1-C6 alkoxy carbonyloxy; arylcarbonyloxy; aryloxycarbonyloxy; C1-C6 alkylthio; C2-C6 alkenylthio; and di-C1-C6 alkylamino, and

said aryl represents phenyl or naphthyl.

22. The process according to claim 21, wherein R represents

(a'') C1-C6 alkylcarbonyloxy C1-C2 alkyl optionally substituted by cyclohexyl,

(b'') phenylcarbonyloxy C1-C2 alkyl in which the phenyl part is optionally substituted by C1-C4 alkyl,

(g'') C1-C6 alkoxy carbonyloxy C1-C2 alkyl optionally substituted by cyclohexyl,

(h'') phenyloxycarbonyloxy C1-C2 alkyl in which the phenyl part is optionally substituted by C1-C4 alkyl,

(l'') unsubstituted C3-C6 cycloalkyloxycarbonyloxy C1-C2 alkyl, or

(n'') unsubstituted 2-oxo-5-(C1-C4 alkyl)-1,3-dioxolen-4-ylmethyl.

23. The process according to any one of claims 18 to 20, wherein the compound represented by formula (1) is selected from the following group of compounds:

monoacetyloxymethyl malonate,

monopivaloyloxymethyl malonate,

mono-2,4-dimethylbenzoyloxymethyl malonate,

mono-1-(ethoxycarbonyloxy)ethyl malonate,

mono-1-(isopropoxycarbonyloxy)ethyl malonate,

monocyclohexyloxycarbonyloxymethyl malonate,

mono-1-(cyclohexyloxycarbonyloxy)ethyl malonate,

mono-1-(phenoxy carbonyloxy)ethyl malonate,

mono-2-oxo-5-methyl-1,3-dioxolen-4-ylmethyl malonate,

mono-1-(2,2-dimethylpropoxycarbonyloxy)ethyl

malonate,

'mono-1-(2-cyclohexylethoxycarbonyloxy)ethyl malonate,

mono-1-(isobutoxycarbonyloxy)ethyl malonate,  
monoisopropoxycarbonyloxymethyl malonate,  
monoisopentoxycarbonyloxymethyl malonate,  
monoisobutylcarbonyloxymethyl malonate, and  
mono-1-ethylpropylcarbonyloxymethyl malonate.